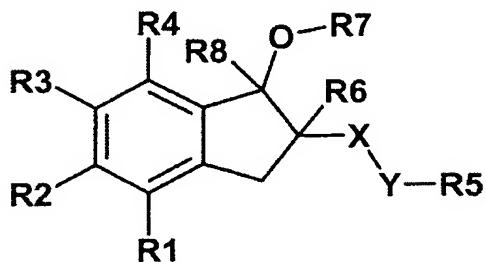


CLAIMS

What is claimed is:

1. A compound of the formula I,



I

in which

R1, R2, R3, R4 independently of one another are H; F, Cl, Br, I, CN, N₃, NO₂, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CH₂-phenyl, O-phenyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, wherein the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine; S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, wherein the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine; NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, or NH-CO-(C₃-C₈)-cycloalkyl; SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl; SO₂-(C₁-C₆)-alkyl NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, COO(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, (C₃-C₈)cycloalkyl, (C₂-C₈)-alkenyl, or (C₂-C₈)-alkynyl, wherein the alkyl, alkenyl and alkynyl groups one to seven hydrogen atoms may be replaced by fluorine;

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or one hydrogen may be replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂;
phenyl, 1- or 2-naphthyl,
5-tetrazolyl, 1-[(C₁-C₆)-alkyl]-5-tetrazolyl, 2-[(C₁-C₆)-alkyl]-5-tetrazolyl,
1-imidazolyl,
1- or 4-[1,2,4]-triazolyl,
2- or 3-thienyl,
2- or 3-furyl,
2-, 3- or 4-pyridyl,
2-, 4- or 5-oxazolyl,
3-, 4- or 5-isoxazolyl,
2-, 4- or 5-thiazolyl, or
3-, 4- or 5-isothiazolyl,
where the aryl radical or heterocycle may be substituted up to two times by
F, Cl, Br, CN,
OH, (C₁-C₄)-alkyl, CF₃, O-(C₁-C₄)-alkyl,
S(O)₀₋₂(C₁-C₆)-alkyl, NH₂, NH-SO₂-(C₁-C₄)-alkyl, COOH, CO-O-(C₁-C₄)-alkyl, CO-NH₂ and wherein the alkyl groups one to seven hydrogen atoms may be replaced by fluorine; or

R2 and R3 together form the radical -O-CH₂-O-;

X is S, SO, or SO₂;

Y is (CH₂)_p, wherein p may be 0, 1, 2 or 3;

R5 is (C₁-C₁₈)-alkyl, or (C₃-C₈)-cycloalkyl,
wherein the alkyl groups up to seven hydrogen atoms may be replaced by
fluorine;
(CH₂)₁₋₆-COOH, (CH₂)₁₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₁₋₆-CONH₂;

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$\text{CH}_2\text{-CH}(\text{NHR10})\text{-COR11}$, where R10 may be H or $\text{C}(\text{O})\text{-(C}_1\text{-C}_6\text{)-alkyl}$ and R11 may be OH, $\text{O-(C}_1\text{-C}_6\text{)-alkyl}$ or NH_2 ;

phenyl, 1- or 2-naphthyl, biphenyl or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, $\text{O(C}_1\text{-C}_8\text{)-alkyl}$, $\text{O(C}_3\text{-C}_8\text{)-cycloalkyl}$, $\text{O-CO-(C}_1\text{-C}_8\text{)-alkyl}$, $\text{O-CO-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $\text{S(O)}_{0-2}(\text{C}_1\text{-C}_8\text{)-alkyl}$, $\text{S(O)}_{0-2}(\text{C}_3\text{-C}_8\text{)-cycloalkyl}$, NH_2 , $\text{NH-(C}_1\text{-C}_8\text{)-alkyl}$, $\text{NH-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $\text{N}[(\text{C}_1\text{-C}_8\text{)-alkyl}]_2$, $\text{N}[(\text{C}_3\text{-C}_8\text{)-cycloalkyl}]_2$, $\text{NH-CO-(C}_1\text{-C}_8\text{)-alkyl}$, $\text{NH-CO-(C}_3\text{-C}_8\text{)-cycloalkyl}$, SO_3H ; $\text{SO}_2\text{-NH}_2$, $\text{SO}_2\text{-NH-(C}_1\text{-C}_8\text{)-alkyl}$, $\text{SO}_2\text{-NH-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $\text{NH-SO}_2\text{-NH}_2$; $\text{NH-SO}_2\text{-(C}_1\text{-C}_8\text{)-alkyl}$, $\text{NH-SO}_2\text{-(C}_3\text{-C}_8\text{)-cycloalkyl}$; $\text{O-CH}_2\text{-COOH}$, $\text{O-CH}_2\text{-CO-O(C}_1\text{-C}_8\text{)-alkyl}$, COOH , $\text{CO-O(C}_1\text{-C}_8\text{)-alkyl}$, $\text{CO-O-(C}_3\text{-C}_8\text{)-cycloalkyl}$, CO-NH_2 , $\text{CO-NH(C}_1\text{-C}_8\text{)-alkyl}$, $\text{CO-N}[(\text{C}_1\text{-C}_8\text{)-alkyl}]_2$; $(\text{C}_1\text{-C}_8\text{)-alkyl}$, or $(\text{C}_3\text{-C}_8\text{)-cycloalkyl}$, wherein the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

R6 is $(\text{CH}_2)_{0-6}\text{-R9}$, $(\text{CH}_2)_{0-6}\text{-COOH}$, $(\text{CH}_2)_{0-6}\text{-COO-(C}_1\text{-C}_6\text{)-alkyl}$, $(\text{CH}_2)_{0-6}\text{-CONH}_2$, $(\text{CH}_2)_{0-6}\text{-CH}(\text{NHR15})\text{-COR16}$, F, Cl, Br, CN, $(\text{C}_1\text{-C}_{18}\text{)-alkyl}$, $(\text{C}_3\text{-C}_4\text{)-cycloalkyl}$, or $(\text{C}_6\text{-C}_8\text{)-cycloalkyl}$, wherein the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H, or $\text{C}(\text{O})\text{-(C}_1\text{-C}_6\text{)-alkyl}$;

R16 is OH, $\text{O-(C}_1\text{-C}_6\text{)-alkyl}$, or NH_2 ,

R7 is $(\text{CH}_2)_{0-4}\text{-R12}$, H, $(\text{C}_1\text{-C}_{12}\text{)-alkyl}$, $(\text{C}_3\text{-C}_4\text{)-cycloalkyl}$, $(\text{C}_6\text{-C}_8\text{)-cycloalkyl}$, $\text{COO(C}_1\text{-C}_6\text{)-alkyl}$, or $\text{COO(C}_3\text{-C}_8\text{)-cycloalkyl}$, wherein the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

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R8 is $(CH_2)_{0-4}$ -R14, (C_1-C_{12}) -alkyl, (C_3-C_4) -cycloalkyl, or (C_6-C_8) -cycloalkyl, wherein the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, $O(C_1-C_8)$ -alkyl, $O(C_3-C_8)$ -cycloalkyl, $O-CO-(C_1-C_8)$ -alkyl, $O-CO-(C_3-C_8)$ -cycloalkyl, $S(O)_{0-2}(C_1-C_8)$ -alkyl, $S(O)_{0-2}(C_3-C_8)$ -cycloalkyl, $N[(C_1-C_8)$ -alkyl]₂, $N[(C_3-C_8)$ -cycloalkyl]₂, $NH-CO-(C_1-C_8)$ -alkyl, $NH-CO-(C_3-C_8)$ -cycloalkyl, SO_3H ; SO_2-NH_2 , $SO_2-NH-(C_1-C_8)$ -alkyl, $SO_2-NH-(C_3-C_8)$ -cycloalkyl, $NH-SO_2-(C_1-C_8)$ -alkyl, $NH-SO_2-(C_3-C_8)$ -cycloalkyl; $O-CH_2-COOH$, $O-CH_2-CO-O(C_1-C_8)$ -alkyl, $COOH$, $CO-O(C_1-C_8)$ -alkyl, $CO-O-(C_3-C_8)$ -cycloalkyl, $CO-NH_2$, $CO-NH(C_1-C_8)$ -alkyl, $CO-N[(C_1-C_8)$ -alkyl]₂; (C_1-C_8) -alkyl, or (C_3-C_8) -cycloalkyl, wherein the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

and their physiologically acceptable salts.

2. The compounds of the formula I, as claimed in claim 1, wherein

R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N₃, $O(C_1-C_8)$ -alkyl, or (C_1-C_8) -alkyl and wherein the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

wherein each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is S, SO, or SO₂;

Y is $(CH_2)_p$, wherein p may be 0, 1, 2 or 3;

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R5 is (C_1-C_{18}) -alkyl, (C_3-C_4) -cycloalkyl, or (C_6-C_8) -cycloalkyl, wherein the alkyl groups up to seven hydrogen atoms may be replaced by fluorine; $(CH_2)_{1-6}$ -COOH, $(CH_2)_{1-6}$ -COO- (C_1-C_6) -alkyl, $(CH_2)_{1-6}$ -CONH₂; CH₂-CH(NHR10)-COR11, where R10 may be H or C(O)- (C_1-C_6) -alkyl and R11 may be OH, O- (C_1-C_6) -alkyl or NH₂; phenyl, 1- or 2-naphthyl, biphenyl or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, O- (C_1-C_8) -alkyl, O- (C_3-C_8) -cycloalkyl, O-CO- (C_1-C_8) -alkyl, O-CO- (C_3-C_8) -cycloalkyl, S(O)₀₋₂ (C_1-C_8) -alkyl, S(O)₀₋₂ (C_3-C_8) -cycloalkyl, NH₂, NH- (C_1-C_8) -alkyl, NH- (C_3-C_8) -cycloalkyl, N[(C_1-C_8) -alkyl]₂, N[(C_3-C_8) -cycloalkyl]₂, NH-CO- (C_1-C_8) -alkyl, NH-CO- (C_3-C_8) -cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH- (C_1-C_8) -alkyl, SO₂-NH- (C_3-C_8) -cycloalkyl, NH-SO₂-NH₂; NH-SO₂- (C_1-C_8) -alkyl, NH-SO₂- (C_3-C_8) -cycloalkyl, O-CH₂-COOH, O-CH₂-CO-O- (C_1-C_8) -alkyl, COOH, CO-O- (C_1-C_8) -alkyl, CO-O- (C_3-C_8) -cycloalkyl, CO-NH₂, CO-NH- (C_1-C_8) -alkyl, CO-N[(C_1-C_8) -alkyl]₂; (C_1-C_8) -alkyl, or (C_3-C_8) -cycloalkyl, wherein the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

R6 is $(CH_2)_{0-6}$ -R9, $(CH_2)_{0-6}$ -COOH, $(CH_2)_{0-6}$ -COO- (C_1-C_6) -alkyl, $(CH_2)_{0-6}$ -CONH₂, $(CH_2)_{0-6}$ -CH(NHR15)-COR16, F, Cl, Br, CN, (C_1-C_{18}) -alkyl, (C_3-C_4) -cycloalkyl, or (C_6-C_8) -cycloalkyl, wherein the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H, or C(O)- (C_1-C_6) -alkyl;

R16 is OH, O- (C_1-C_6) -alkyl, or NH₂;

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R7 is $(CH_2)_{0-4}$ -R12, H, (C_1-C_{12}) -alkyl, (C_3-C_4) -cycloalkyl, (C_6-C_8) -cycloalkyl, $COO(C_1-C_6)$ -alkyl, or $COO(C_3-C_8)$ -cycloalkyl, wherein the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R8 is $(CH_2)_{0-4}$ -R14, (C_1-C_{12}) -alkyl, (C_3-C_4) -cycloalkyl, or (C_6-C_8) -cycloalkyl, wherein the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by F, Cl, Br, I, CN, OH, $O(C_1-C_8)$ -alkyl, $O(C_3-C_8)$ -cycloalkyl, $O-CO-(C_1-C_8)$ -alkyl, $O-CO-(C_3-C_8)$ -cycloalkyl, $S(O)_{0-2}(C_1-C_8)$ -alkyl, $S(O)_{0-2}(C_3-C_8)$ -cycloalkyl, NH_2 , $NH-(C_1-C_8)$ -alkyl, $NH-(C_3-C_8)$ -cycloalkyl, $N[(C_1-C_8)$ -alkyl] $]_2$, $N[(C_3-C_8)$ -cycloalkyl] $]_2$, $NH-CO-(C_1-C_8)$ -alkyl, $NH-CO-(C_3-C_8)$ -cycloalkyl; SO_3H , SO_2-NH_2 , $SO_2-NH-(C_1-C_8)$ -alkyl, $SO_2-NH-(C_3-C_8)$ -cycloalkyl, $NH-SO_2-NH_2$; $NH-SO_2-(C_1-C_8)$ -alkyl, $NH-SO_2-(C_3-C_8)$ -cycloalkyl; $O-CH_2-COOH$, $O-CH_2-CO-O(C_1-C_8)$ -alkyl, $COOH$, $CO-O(C_1-C_8)$ -alkyl, $CO-O-(C_3-C_8)$ -cycloalkyl, $CO-NH_2$, $CO-NH(C_1-C_8)$ -alkyl, $CO-N[(C_1-C_8)$ -alkyl] $]_2$; (C_1-C_8) -alkyl, or (C_3-C_8) -cycloalkyl, wherein the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

and their physiologically acceptable salts.

3. The compounds of the formula I, as claimed in claim 1, wherein

R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N_3 , $O(C_1-C_8)$ -alkyl, or (C_1-C_8) -alkyl and wherein the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

wherein each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is SO₂;

Y is (CH₂)_p, wherein p may be 0, 1 or 2;

R5 is (C₁-C₈)-alkyl, wherein the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

R6 is F, Cl, Br, CN, or (C₁-C₈)-alkyl, wherein the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

R7 is H, or (C₁-C₁₂)-alkyl, wherein the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

R8 is (C₁-C₁₂)-alkyl, wherein the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

and their physiologically acceptable salts.

4. A pharmaceutical composition comprising an effective amount of a compound of formula I as claimed in claim 1, and a pharmaceutically acceptable carrier.

5. The pharmaceutical composition according to claim 4, further comprising one or more active compounds suitable for reducing weight or for the treatment of obesity.

6. The pharmaceutical composition according to claim 4, further comprising one or more of the agents selected from the group consisting of cathine, phenylpropanolamine, amfepramone, mefenorex, ephedrine, leptin, dexamphetamine, amphetamine, fenfluramine, dexfenfluramine, sibutramine, orlistat, mazindol or phentermine or their salts for preparing a medicament for reducing weight in mammals.

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7. A method for the treating obesity, comprising administering to a subject in need thereof, an effective amount of a compound according to formula I as claimed in claim 1.

8. A method of reducing weight in a mammal, comprising administering to said mammal an effective amount of a compound of formula I as claimed in claim 1.

9. A method of maintaining weight loss, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.

10. The method of claim 9, further comprising administering one or more active compounds for reducing weight in mammals.